PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
P33742WO/KVC/ECK		
International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/GB 03/01115	17.03.2003	28.03.2002
International Patent Classification (IPC) or both national classification and IPC		
C07D471/04, C07D471/04		
Applicant		
EISAI LONDON RESEARCH LABORATORIES LIMITED et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

   ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the opinion
   - II ☐ Priority
   - III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
16.10.2003	29.03.2004
Name and mailing address of the international preliminary examining authority:	Authorized Officer
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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/GB 03/01115

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:

Description, Pages

1-27 as originally filed

Claims, Numbers

1-46 as originally filed

2. With regard to the **language,** all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

   ☐ the entire international application,

   ☒ claims Nos. 24-32, 44-46 "with respect to industrial applicability" 41-43

   because:

   ☒ the said international application, or the said claims Nos. 24-32, 44-46 relate to the following subject matter which does not require an international preliminary examination (specify):

   see separate sheet

   ☒ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. 41-43 are so unclear that no meaningful opinion could be formed *(specify)*:

   see separate sheet

   ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

   ☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/ or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

   ☐ the written form has not been furnished or does not comply with the Standard.

   ☐ the computer readable form has not been furnished or does not comply with the Standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-40,44-46
	No:	Claims	
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-40, 44-46
Industrial applicability (IA)	Yes:	Claims	1-23,33-40
	No:	Claims	

2. Citations and explanations

   see separate sheet

To section III

Claims 24-32 and 44-46 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(i) PCT).
Claims 41-43 are not examined due to lack of clarity (Art. 6 PCT, see also below).

To section V

(1) The following documents were cited in the search report and were considered for the examination of the present application:

D1: WO 01 49288 A (MERCK FROSST CANADA INC ;ROBICHAUD JOEL STEPHANE (CA); ISABEL ELIS) 12 July 2001;
D2: WO 01 47922 A (DEPRETS STEPHANIE ;LAI JUSTINE YEUN QUAI (GB); MORLEY ANDREW DAVID) 5 July 2001;
D3: EP-A-1 106 621 (FUJI PHOTO FILM CO LTD) 13 June 2001.

The present application relates to 5-substituted 7-azaindoles, which are mostly characterized by the presence of a heterocyclic or substituted phenyl group in position 5. These compounds are inhibitors of c-Jun N-terminal kinases (JNKs) and are thus considered to be useful for the treatment of neurodegenerative diseases.

(2) Claim 1 relates to compounds with a proviso for the substitution possibilities for the phenyl group, obviously in view of document D1 (compare page 117, A24 and page 115, formula I). The Applicant is requested to provide explanations for the introduction of this proviso and/or to cite document D1.

(3) The subject-matter of claims 1-40 and 44-46 is novel (Art. 33(2) PCT). The closest prior art D2 relates to kinase inhibitors of the azaindole type which have an aryl/hetaryl group in position 2, whereas the compounds of the present application carry a hydrogen in this position. Thus novelty can be acknowledged for the claimed subject-matter.
The introduction of a cyclic substituent in position 5 of the azaindole group, which is itself otherwise unsubstitued is not suggested by document D2. Thus the involvement of an inventive step could be acknowledged (Art. 33(3) PCT); however expressions like "optionally substituted carbocyclyl" etc. are not sufficiently specific io order to justify the

attribution of an inventive step over the whole scope of compounds claimed.

Claim 8 is not acceptable in view of the expression "prodrug" which does not clearly specify for which subjetc-matter protection might be sought (Art. 6 PCT)

Claims 41-43 are not acceptable since it is not clear which assay is to be protected (Art. 6 PCT); moreover the expression "activity" of a compound is meaningless, since any compound reveals a certain "biological" activity.

For the assessment of the present claims 24-32 and 44-46 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.